DOCKET NO.: CEPH-1157 Application No.: 09/708,233 Office Action Dated: May 16, 2003

This listing of claims will replace all prior versions, and listings, of claims in the application.

## Listing of Claims

## 1. (currently amended) A compound defined by the general of formula (I):

$$R_2$$
 $W_1$ 
 $W_2$ 
 $W_2$ 
 $W_3$ 
 $W_4$ 
 $W_3$ 
 $W_4$ 
 $W_5$ 
 $W_5$ 
 $W_6$ 
 $W_7$ 
 $W_8$ 
 $W_9$ 
 $W_9$ 

A2

wherein:

one of R<sup>1</sup> and R<sup>2</sup> is selected from the group consisting of:

- a)  $-CO(CH_2)_jR^4$ , wherein j is 1 to 6, and  $R^4$  is selected from the group consisting of:
  - 1) hydrogen and a halogen;
- 2) -NR<sup>5</sup>R<sup>6</sup>, wherein R<sup>5</sup> and R<sup>6</sup> independently are hydrogen, substituted lower alkyl, unsubstituted lower alkyl, substituted aryl, unsubstituted aryl, substituted aralkyl, unsubstituted heteroaryl, substituted aralkyl, unsubstituted aralkyl, lower alkylaminocarbonyl, or lower alkoxycarbonyl; or R<sup>5</sup> and R<sup>6</sup> are combined with a the nitrogen atom to which they are attached to form a heterocyclic group;
  - 3)  $N_3$ ;
  - 4) -SR<sup>27</sup>, wherein R<sup>27</sup> is selected from the group consisting of:
    - i) hydrogen;
    - ii) substituted lower alkyl;

Page 3 of 17

**DOCKET NO.:** CEPH-1157 **Application No.: 09/708,233** 

Office Action Dated: May 16, 2003

- unsubstituted lower alkyl; iii)
- substituted aryl; iv)
- unsubstituted aryl; v)
- substituted heteroaryl; vi)
- unsubstituted heteroaryl; vii)
- substituted aralkyl; viii)
- ix) unsubstituted aralkyl;
- thiazolinyl; x)
- -(CH<sub>2</sub>)<sub>a</sub>CO<sub>2</sub>R<sup>28</sup>, wherein a is 1 or 2, and R<sup>28</sup> is selected xi) from the group consisting of: hydrogen and lower alkyl; and

-(CH<sub>2</sub>)<sub>a</sub> CONR<sup>5</sup>R<sup>6</sup>; and xii)

- OR<sup>29</sup> (wherein R<sup>29</sup> is hydrogen, substituted lower alkyl, 5) unsubstituted lower alkyl, or CO<sub>2</sub>R<sup>30</sup> (wherein R<sup>30</sup> is hydrogen, lower alkyl, substituted aryl, unsubstituted aryl, substituted heteroaryl, or unsubstituted heteroaryl);
- -CH(OH)(CH<sub>2</sub>)<sub>b</sub>R<sup>4A</sup>, wherein b is 1 to 6 and R<sup>4A</sup> is hydrogen or the same as R<sup>4</sup>;
- -(CH<sub>2</sub>)<sub>d</sub>CHR<sup>31</sup>CO<sub>2</sub>R<sup>32</sup>, wherein d is 0 to 5, R<sup>31</sup> is hydrogen, -CONR<sup>5</sup>R<sup>6</sup>, or CO<sub>2</sub>R<sup>33</sup> (wherein R<sup>33</sup> is hydrogen or lower alkyl), and R<sup>32</sup> is hydrogen or lower alkyl;
  - -(CH<sub>2</sub>)<sub>d</sub>CHR<sup>31</sup>CONR<sup>5</sup>R<sup>6</sup>; d)
- -(CH<sub>2</sub>)<sub>k</sub>R<sup>7</sup>, wherein k is 2 to 6, and R<sup>7</sup> is halogen, CO<sub>2</sub>R<sup>8</sup> (wherein R<sup>8</sup> is hydrogen, lower alkyl, substituted aryl, unsubstituted aryl, substituted heteroaryl, or unsubstituted heteroaryl), CONR<sup>5</sup>R<sup>6</sup>, substituted aryl, unsubstituted aryl, substituted heteroaryl, unsubstituted heteroaryl, OR<sup>9</sup> (wherein R<sup>9</sup> is hydrogen, substituted lower alkyl, unsubstituted lower alkyl, acyl, substituted aryl, or unsubstituted aryl), SR<sup>27B</sup> (wherein R<sup>27B</sup> is the same as  $R^{27}$ ),  $NR^{10}R^{11}$  (wherein  $R^{10}$  and  $R^{11}$  are the same as  $R^5$  and  $R^6$ ) or  $N_3$ ;
- -CH=CH(CH<sub>2</sub>)<sub>m</sub>R<sup>12</sup> wherein m is 0 to 4, and R<sup>12</sup> is hydrogen, lower alkyl, CO<sub>2</sub>R<sup>8A</sup> (wherein R<sup>8A</sup> is the same as R<sup>8</sup>), -CONR<sup>5</sup>R<sup>6</sup>, substituted aryl, unsubstituted aryl, substituted heteroaryl, unsubstituted heteroaryl, OR9A (wherein R9A is the same as R9), or NR<sup>10A</sup>R<sup>11A</sup> (wherein R<sup>10A</sup> and R<sup>11A</sup> are the same as R<sup>5</sup> and R<sup>6</sup>);
  - -CH-C(CO<sub>2</sub>R<sup>33A</sup>)<sub>2</sub>, wherein R<sup>33A</sup> is the same as R<sup>33</sup>;
  - $-C = C(CH_2)_n R^{13}$ , wherein n is 0 to 4, and  $R^{13}$  is the same as  $R^{12}$ ; h) Page 4 of 17

DOCKET NO.: CEPH-1157 Application No.: 09/708,233

Office Action Dated: May 16, 2003

i)  $-CH_2OR^{44}$ , wherein  $R^{44}$  is substituted lower alkyl; and the other of  $R^1$  or  $R^2$  is selected from the group consisting of

- j) hydrogen, lower alkyl, halogen, acyl, nitro, NR<sup>14</sup>R<sup>15</sup> (wherein R<sup>14</sup> or R<sup>15</sup> is hydrogen or lower alkyl, and the other is hydrogen, lower alkyl, acyl, carbamoyl, lower alkylaminocarbonyl, substituted arylaminocarbonyl or unsubstituted arylaminocarbonyl);
  - k) -CH(SR<sup>34</sup>)<sub>2</sub>, wherein R<sup>34</sup> is lower alkyl or alkylene;
- l)  $-CH_2R^{35}$ , wherein  $R^{35}$  is  $OR^{36}$  (wherein  $R^{36}$  is tri-lower alkyl silyl in which the three lower alkyl groups are the same or different, or is the same as  $R^{29}$ ), or  $SR^{37}$  (wherein  $R^{37}$  is the same as  $R^{27}$ );
  - m)  $-CO(CH_2)_q R^{16}$ , wherein q is 1 to 6, and  $R^{16}$  is the same as  $R^4$ ;
  - n) -CH(OH)(CH<sub>2</sub>)<sub>e</sub>R<sup>38</sup>, wherein e is 1 to 6, and R<sup>38</sup> is the same as R<sup>4A</sup>;
- o)  $-(CH_2)_fCHR^{39}CO_2R^{40}$ , wherein f is 0 to 5,  $R^{39}$  is the same as  $R^{31}$  and  $R^{40}$  is the same as  $R^{32}$ :
  - p)  $-(CH_2)_rR^{17}$ , wherein r is 2 to 6, and  $R^{17}$  is the same as  $R^7$ ;
  - q) -CH=CH(CH<sub>2</sub>), R18, wherein t is 0 to 4, and R<sup>18</sup> is the same as R<sup>12</sup>;
  - r) -CH=C(CO<sub>2</sub>R<sup>33B</sup>)<sub>2</sub>, wherein R<sup>33B</sup> is the same as R<sup>33</sup>;
  - s)  $-C = C(CH_2)_u R^{19}$ , wherein u is 0 to 4, and  $R^{19}$  is the same as  $R^{13}$ ;

R<sup>3</sup> is hydrogen, acyl, or lower alkyl;

X is selected from the group consisting of:

- a) hydrogen;
- b) formyl;
- c) lower alkoxycarbonyl;
- d) -CONR<sup>20</sup>R<sup>21</sup>, wherein:

R<sup>20</sup> and R<sup>21</sup> independently are:

hydrogen;

lower alkyl;

-CH<sub>2</sub>R<sup>22</sup>, wherein R<sup>22</sup> is hydroxy, or

-NR<sup>23</sup>R<sup>24</sup> (wherein R<sup>23</sup> or R<sup>24</sup> is hydrogen or lower alkyl, and

the other is hydrogen, lower alkyl, or the residue of an  $\alpha$ -amino acid in which the hydroxy group of the carboxyl group is excluded, or  $R^{23}$  and  $R^{24}$  are combined with **a** the nitrogen atom to which they are attached to form a heterocyclic group); and

AZ

DOCKET NO.: CEPH-1157 PATENT

Application No.: 09/708,233
Office Action Dated: May 16, 2003

e) -CH=N-R<sup>25</sup>, wherein R<sup>25</sup> is hydroxy, lower alkoxy, amino, guanidino, or imidazolylamino;

Y is hydroxy, lower alkoxy, aralkyloxy, or acyloxy; or

X and Y combined represent, -X-Y-, =O, -CH<sub>2</sub>O(C=O)O-, -CH<sub>2</sub>OC(=S)O-, -CH<sub>2</sub>NR<sup>26</sup>C(=O)- (wherein  $R^{26}$  is hydrogen or lower alkyl), -CH<sub>2</sub>NHC(=S)O-, -CH<sub>2</sub>OS(=O)O-, or -CH<sub>2</sub>OC(CH<sub>3</sub>)<sub>2</sub>O-; and

W<sup>1</sup> and W<sup>2</sup> are hydrogen, or W<sup>1</sup> and W<sup>2</sup> together represent oxygen; or a pharmaceutically acceptable salt thereof.

## 2. (original) The compound of claim 1 wherein:

a) one of  $R^1$  and  $R^2$  is selected from the group consisting of  $-(CH_2)_k R^7$ ,  $-CH=CH(CH_2)_m R^{12}$ ,  $-C\equiv C(CH_2)_n R^{13}$ ,  $-CO(CH_2)_j SR^{27}$  and  $-CH_2OR^{44}$ , wherein  $R^{44}$  is methoxymethyl, ethoxymethyl, or methoxyethyl;

and the other of  $R^1$  and  $R^2$  is selected from the group consisting of - $(CH_2)_R R^{17}$ , -CH=CH(CH<sub>2</sub>)<sub>1</sub> $R^{18}$ , -C=C(CH<sub>2</sub>)<sub>u</sub> $R^{19}$ , NR<sup>14</sup> $R^{15}$ , hydrogen, halogen, nitro, -CH<sub>2</sub>O, substituted lower alkyl, unsubstituted lower alkyl, -CO(CH<sub>2</sub>)<sub>q</sub>SR<sup>27</sup>, -CH<sub>2</sub>R<sup>35</sup>, wherein  $R^{35}$  is OR<sup>36</sup>, and -CH<sub>2</sub>SR<sup>37</sup>, wherein  $R^{37}$  is selected from the group consisting of lower alkyl, pyridyl, and benzimidazole;

- b) k and r are each 2, 3, or 4;
- c) j and q are each 1 or 2;
- d)  $R^7$  and  $R^{17}$  are:
- 1) selected independently from the group consisting of: phenyl, pyridyl, imidazolyl, thiazolyl, or tetrazolyl; or
  - 2) selected pairwise, from the group consisting of:
- i)  $-CO_2R^8$  and  $CO_2R^{8A}$ , where  $R^8$  and  $R^{8A}$ , independently, are hydrogen, methyl, ethyl, or phenyl;
- ii)  $-OR^9$  and  $-OR^{9A}$ , where  $R^9$  and  $R^{9A}$ , independently, are hydrogen, methyl, ethyl, phenyl, or acyl;
- iii) -SR<sup>27B</sup>, where R<sup>27B</sup> is selected from the group consisting of unsubstituted lower alkyl, 2-thiazoline, and pyridyl; and
  - iv)  $-NR^{10}R^{11}$  and  $-NR^{14}R^{15}$ , where  $R^{10}$ ,  $R^{11}$ ,  $R^{14}$ , and  $R^{15}$ , Page 6 of 17

AZ

**PATENT** 

DOCKET NO.: CEPH-1157 Application No.: 09/708,233 Office Action Dated: May 16, 2003

independently, are selected from the group consisting of hydrogen, methyl, ethyl, phenyl, carbamoyl, and lower alkylaminocarbonyl;

- e) R<sup>27</sup> is selected from the group consisting of substituted lower alkyl, unsubstituted lower alkyl, substituted phenyl, unsubstituted phenyl, pyridyl, pyrimidinyl, thiazole, and tetrazole;
- f) R<sup>36</sup> is selected from the group consisting of methoxymethyl, ethoxymethyl, and methoxyethyl;
  - g) m, n, t and u each is 0 or 1; and
- h)  $R^{12}$ ,  $R^{13}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrogen, methyl ethyl, phenyl, pyridyl, imidazole, thiazole, tetrazole, -CO<sub>2</sub>R<sup>8</sup>, -OR<sup>9</sup>, and NR<sup>10</sup>R<sup>11</sup>, wherein R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup>, and R<sup>11</sup> each is hydrogen, methyl, ethyl, or phenyl.
- 3. (original) The compound of claim 2, wherein  $R^3$  is hydrogen or acetyl, X is hydroxymethyl or lower alkoxycarbonyl, Y is hydroxy or acetyloxy, and  $W^1$  and  $W^2$  are hydrogen.
- 4. *(original)* The compound of claim 3, wherein X is methoxycarbonyl, Y is hydroxy, and R<sup>3</sup> is hydrogen.

## 5. (currently amended) The compound of claim 3 wherein:

one of  $R^1$  and  $R^2$  is selected from the group consisting of methoxycarbonylvinyl, ethoxycarbonylvinyl, styryl, 2-pyridylvinyl, 4-pyridylvinyl, 2-pyridylethyl, 4-pyridylethyl, phenylethyl, methoxypropynyl, hydroxypropynyl, -COCH<sub>2</sub>SEt, -C $\equiv$ CCH<sub>2</sub>NMeBn, -CH=CHEt, -(CH<sub>2</sub>)<sub>2</sub>SMe, -(CH<sub>2</sub>)<sub>2</sub>S-2-thiazoline, -(CH<sub>2</sub>)<sub>3</sub>SMe, -CH=CHEt, -CH=CH-2-imidazole, (CH<sub>2</sub>)<sub>2</sub>OC( $\equiv$ O)H, methoxymethoxymethyl, ethoxymethyl, methoxyethoxymethyl, and 2-hydroxyethyl; and

the other of  $R^1$  and  $R^2$  is selected from the group consisting of hydrogen, halogen, methoxycarbonylvinyl, ethoxycarbonylvinyl, styryl, 2-pyridylvinyl, 4-pyridylvinyl, 2-pyridylethyl, 4-pyridylethyl, phenylethyl, nitro, amino, N-ethylurea, methoxypropynyl, hydroxypropynyl, -COCH<sub>2</sub>SEt, -C=CCH<sub>2</sub>NMeBn, -CH-CHEt, -(CH<sub>2</sub>)<sub>2</sub>SMe, -(CH<sub>2</sub>)<sub>2</sub>S-2-thiazoline, -(CH<sub>2</sub>)<sub>3</sub>SMe, -CH<sub>2</sub>OMe, -CH<sub>2</sub>OEt, -CH<sub>2</sub>SEt, pyridylthiomethyl, Page 7 of 17



**DOCKET NO.:** CEPH-1157 **Application No.:** 09/708,233

Office Action Dated: May 16, 2003

**PATENT** 

-CH<sub>2</sub>S-2-benzimidazole, -CH=CHEt, -CH=CH-2-imidazole, -(CH<sub>2</sub>)<sub>2</sub>OC(=O)H,

methoxymethyl, ethoxymethyl, methoxymethyl, and 2-hydroxyethyl.

Claims 6-22 (canceled)